(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
12 September 2003 (12.09.2003)

PCT

(10) International Publication Number
WO 03/074517 A1

(51) International Patent Classification[7]: C07D 413/12, 209/42, A61K 31/40

(21) International Application Number: PCT/GB03/00924

(22) International Filing Date: 4 March 2003 (04.03.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0205175.3 6 March 2002 (06.03.2002) GB

(71) Applicant *(for all designated States except MG, US)*: **ASTRAZENECA AB** [SE/SE]; Sodertalje, S-151 85 (SE).

(71) Applicant *(for MG only)*: **ASTRAZENECA UK LIMITED** [GB/GB]; 15 Stanhope Gate, London, Greater London W1K 1LN (GB).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **STOCKER, Andrew** [GB/GB]; AstraZeneca R & D Alderley, Alderley Park, Macclesfield, Cheshire SK10 4TG (GB). **WHITTAMORE, Paul, Robert, Owen** [GB/GB]; AstraZeneca R & D Alderley, Alderley Park, Macclesfield, Cheshire SK10 4TG (GB).

(74) Agent: **ASTRAZENECA**; Global Intellectual Property, Mereside, Alderley Park, Macclesfield, Cheshire SK10 4TG (GB).

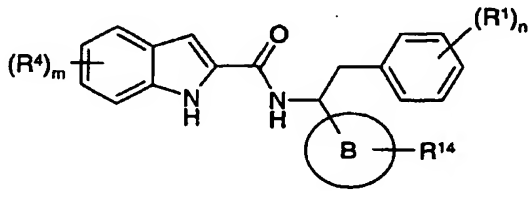
(81) Designated States *(national)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States *(regional)*: ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:
— *with international search report*

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: INDOLE-AMID DERIVATIVES WHICH POSSESS GLYCOGEN PHOSPHORYLASE INHIBITORY ACTIVITY



(1)

(57) Abstract: Heterocyclic amides of formula (1), wherein: m is 0, 1 or 2; n is 0, 1 or 2; B is phenyl or heterocyclyl; R^1 is selected from for example halo, nitro, cyano, hydroxy, carboxy; R^2 and R^3 are independently selected from, for example, C_{5-7}cycloalkyl, cyano(C_{1-4})alkyl, C_{1-4}alkyl (optionally substituted with 1 or 2 R^8 groups), -OR^8 and R^8; R^4 is independently selected from for example hydrogen, halo, nitro, cyano, hydroxy, C_{1-4}alkyl, and C_{1-4}alkanoyl; R^8 is selected from for example hydroxy, heterocyclyl, aryl, -COCOOR^9, -C(O)N(R^9)(R^{10}), (R^9)(R^{10})N- and -COOR^9; R^9 and R^{10} are selected from for example hydrogen, hydroxy, C_{1-4}alkyl (optionally substituted by 1 or 2 R^{13}); R^{13} is selected from for example, hydroxy, C_{1-4}alkoxy, heterocyclyl and C_{1-4}alkanoyl; R^{14} is selected from for example, hydrogen, halo, C_{1-4}alkyl, C_{5-7}cycloalkyl, C_{1-4}alkoxy, cyano, cyano(C_{1-4})alkyl, -COR^3, (R^2)(R^3)NCO-, and (R^2)(R^3)NSO_2-; or a pharmaceutically acceptable salt or pro-drug thereof; possess glycogen phosphorylase inhibitory activity and accordingly have value in the treatment of disease states associated with increased glycogen phosphorylase activity. Processes for the manufacture of said heterocyclic amide derivatives and pharmaceutical compositions containing them are described.

WO 03/074517 A1